

**IN THE UNITED STATES DESIGNATED/ELECTED OFFICE**

**107 551 998**

International Application No. : PCT/EP2004/002354  
 International Filing Date : 08 March 2004 (08.03.2004)  
 Priority Date(s) Claimed : 05 April 2003 (05.04.2003)  
 Applicant(s) (DO/EO/US) : Kai SCHIEMANN, et al.

Title: 1,3,4-SUBSTITUTED PYRAZOLES AS 5-HT RECEPTOR ANTAGONISTS FOR THE TREATMENT OF PSYCHOSES AND NEUROLOGICAL DISORDERS

**INFORMATION DISCLOSURE STATEMENT UNDER 37 CFR §§ 1.56, 1.97 and 1.98**

Commissioner for Patents  
 P.O. Box 1450  
 Alexandria, VA 22313-1450

Sir:

This information disclosure statement is made in accordance with 37 C.F.R. §§ 1.56, 1.97 and 1.98 as follows:

**Timing and Fees**

- ☒ Under 37 C.F.R. § 1.97(b), no fee or statement is required for filing this information disclosure statement is filed:
- ☐ within three months of the filing date of a national application other than a CPA under § 1.53(d);
  - ☒ within three months of the actual filing date of the national phase of a PCT application; OR
  - ☐ before the mailing of a first substantive office action (including after filing of an RCE).
- ☐ Under 37 C.F.R. § 1.97(c), this information disclosure statement is filed after the periods specified in 37 C.F.R. § 1.97(b), but before the mailing date of:
- a final rejection under 37 C.F.R. 1.113;
  - termination of prosecution, e.g. Ex Parte Quayle, M.P.E.P § 609(B)(2); OR
  - a notice of allowance under 37 C.F.R. § 1.311; and

is accompanied by:

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- ☐ the statement as specified in 37 C.F.R. § 1.97(e) set out below; OR
- ☐ a check covering the fee of \$180.00 under 37 C.F.R. § 1.17(p).
- ☐ Under 37 C.F.R. § 1.97(d), this information disclosure statement is filed after the mailing date of the following actions which have not been withdrawn:
- ☐ a final action under 37 C.F.R. § 1.113;
- ☐ termination of prosecution, e.g. Ex Parte Quayle, M.P.E.P § 609(B)(2);
- ☐ OR a notice of allowance under 37 C.F.R. § 1.311;

AND is filed on or before payment of the issue fee; AND is accompanied by:

the statement as specified in 37 C.F.R. § 1.97(e) as set forth below, and the fee of \$180.00 under 37 C.F.R. § 1.17(p).

Statements Under 37 C.F.R. 1.97(e)

- ☐ Each item of information contained in this information disclosure statement was cited in a communication from a foreign patent office in a counterpart foreign application having a mailing date not more than three months prior to the filing date of this information disclosure statement; or
- ☐ No item of information contained in this information disclosure statement was cited in a communication from a foreign patent office in a counterpart foreign application, and to the knowledge of the undersigned attorney after making reasonable inquiry, no item of information contained in this information disclosure statement was known to any individual designated in 37 C.F.R. § 1.56(c) more than three months prior to the filing date of the information disclosure statement.

Cited Materials

- ☐ Copies of materials listed but not attached were cited in benefit (35 U.S.C. § 120) ancestor application Serial No. \_\_\_\_\_, on Form 892 by the Examiner and/or Form 1449 by the applicant; see 37 C.F.R. § 1.98(d).
- ☒ Copies of materials listed but not attached were cited in an international search report dated July 19, 2004.
- ☐ Not required by 37 CFR § 1.98.
- ☐ Copies of the materials listed are attached (except for the foregoing).

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Non-English Language References

☐ An English-language search report or equivalent paper from a foreign patent office is provided indicating the relevance of the cited reference(s).

☒ A foreign-language search report from a foreign patent office is provided, and pertinent parts are translated substantively below:

X = document of particular relevance when it is taken alone

Y = document of particular relevance when it is combined with another such document

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O = non-written disclosure

P = intercalated document

T = document cited to understand the theory or principle underlying the invention

E = patent document which has the benefit of a date earlier than the filing date and which was published only on or after this filing date

D = cited in the application

L = cited for another reason

& = publication of member of same patent family

☒ Translation of other relevant information on foreign search report

In the search report, "Seite" means page, "Seiten" means pages, "Verbindungen der Formel" means Figure of formula, "Beispiele" means examples, and "das ganze Dokument" means the entire document, "Spalte" means column, "Zeile" means line, "Abbildungen" means claims, "Anspruch" means example, "Zusammenfassung" means summary, and "Tabelle" means table, and "Tabellen" means tables "in der Anmeldung erwahnt" means cited in the application, "Schema" means scheme, "und" means and, "Absatz" means break, "Abbildung" means figure.

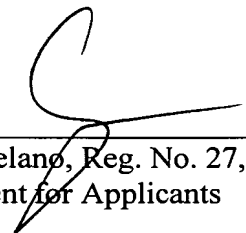
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☒ The Commissioner is hereby authorized to charge or credit any overpayment to Deposit .  
Account #13-3402, two copies of this paper are attached for this purpose. **10/ 551 998**

Respectfully submitted,

  
\_\_\_\_\_  
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Attorney Docket No.: MERCK-3073

Date: October 4, 2005

AJZ:ssr

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**INFORMATION DISCLOSURE  
STATEMENT BY APPLICANT**

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Sheet 1 of 2

Complete if Known

|                        |                            |
|------------------------|----------------------------|
| Application Number     | USNP of PCT/EP2004/002354  |
| Filing Date            | 08 March 2004 (08.03.2004) |
| First Named Inventor   | Kai SCHIEMANN, et al.      |
| Group Art Unit         | unknown                    |
| Examiner Name          | unknown                    |
| Attorney Docket Number | MERCK-3073                 |

**U.S. PATENT DOCUMENTS**

| Examiner<br>Initials * | Cite No. <sup>1</sup> | U.S. Patent Document |                                      | Name of Patentee or Applicant<br>of Cited Document | Date of Publication of Cited Document<br>MM-DD-YYYY |
|------------------------|-----------------------|----------------------|--------------------------------------|--|---|
|                        |                       | Number               | Kind Code <sup>2</sup><br>(if known) |  |   |
|                        | 001                   | 6,150,393            | A                                    | BEHAN, ET AL.                                      | 11-21-2000  |
|                        | 002                   | 6,043,261            | A                                    | HANSEN, JR., ET AL.                                | 03-28-2000  |
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**FOREIGN PATENT DOCUMENTS**

| Examiner<br>Initials * | Cite No. <sup>1</sup> | Foreign Patent Document |                     |                                      | Name of Patentee or Applicant<br>of Cited Document | Date of Publication of<br>Cited Document<br>MM-DD-YYYY | Pages, Columns, Lines,<br>Where Relevant<br>Passages or Relevant<br>Figures Appear | T <sup>6</sup> |
|------------------------|-----------------------|-------------------------|---------------------|--------------------------------------|--|--|--|----------------|
|                        |                       | Office <sup>3</sup>     | Number <sup>4</sup> | Kind Code <sup>5</sup><br>(if known) |  |  |  |                |
|                        | 004                   | WO                      | 01/29008            | A                                    | ARENA<br>PHARMACEUTICALS INC.                      | 04-26-2001   | Entire Document  | 001            |
|                        | 005                   | WO                      | 96/33175            | A                                    | SEARLE & CO  | 10-24-1996   | Pg. 112; Ex. 144;<br>Pg. 110; Ex. 140  | 002            |
|                        | 006                   | DE                      | 21 41 125           | A                                    | BYK-GULDEN LOMBERG<br>GMBH                         | 02-24-1972   | Example 1  |                |
|                        | 007                   | WO                      | 03/099793           | A                                    | TAKEDA LTD   | 12-04-2003   | Ex. 52, Pg. 143; Ex.<br>146, Pg. 188; Ex.<br>164, Pg. 196                          |                |
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|                        |                       |                         |                     |                                      |  |  |  |                |

Examiner  
SignatureDate  
Considered

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<sup>1</sup> Unique citation designation number. <sup>2</sup> See attached Kinds of U.S. Patent Documents. <sup>3</sup> Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). <sup>4</sup> For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. <sup>5</sup> Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST. 16 if possible. <sup>6</sup> Applicant is to place a check mark here if English language Translation is attached. Number refers to English language corresponding family member.

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Sheet 2 of 2

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Filing Date 08 March 2004 (08.03.2004)  
First Named Inventor Kai SCHIEMANN, et al.  
Group Art Unit unknown  
Examiner Name unknown  
Attorney Docket Number MERCK-3073

## **NON PATENT LITERATURE DOCUMENTS**

| Examiner<br>Initials * | Cite No. <sup>1</sup> | Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.   | T <sup>2</sup> |
|------------------------|-----------------------|---|----------------|
|                        | 010                   | TAKEHITO OCHI ET AL.: "FR143166 ATTENUATES SPINAL PAIN TRANSMISSION THROUGH ACTIVATION OF THE SEROTONIN SYSTEM" EUROPEAN JOURNAL OF PHARMACOLOGY, Bd. 452, 2002, Pages 319-324, XP002284473, The Entire Document  |                |
|                        | 011                   | YOSHIKO SUZUKI ET AL: "IN VITRO AND IN VIVO PHARMACOLOGICAL PROFILE OF 4-(4-FLUOROBENZYLIDENE)-1-(2'-5-(4-FLUOROPHENYL)-1H-PYRAZOL-4-YL)ETHYL PIPERIDINE (NRA0161)" LIFE SCIENCES, Bd. 71, 2002, pages 2603-2615, XP002284474, The Entire Document  |                |
|                        | 012                   | KATRITZKY ET AL: "1,3-DIPOLAR CYCLOADDITIONS OF ELECTRON-RICH BENZOTRIAZOL-1-YLPROPENES" J. HETEROCYCLIC CHEM., Bd. 33, 1996, Pages 1637-1646, XP002284475, Figure 28, Pages. 1640  |                |
|                        | 013                   | PEREZ ET AL: "AN EASY METHOD FOR THE N-ALKYLATION OF AMIDES, CARBAMATES, UREAS AND AZOLES..." HETEROCYCLES, Bd. 60, Nr. 1, 25 November 2002, Pages 167-175, XP002284476, Figures 10,11 and 12, Pages 168 and 173  |                |
|                        | 014                   | O'BRIAN ET AL: "SOME REACTIONS OF 3-HYDROXY-1-PHENYLPYRAZOLE" J. ORG. CHEM., Bd.31, 1966, Pages 1538-1542, XP002284477, The Entire Document   |                |
|                        | 015                   | DATABASE CHEMABS 'ONLINE' CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 1960, GRANDBERG, I.I. ET AL: "PYRAZOLES. XII. HYDROXY-AND CHLOROMETHYLATION OF 1-SUBSTITUTED PYRAZOLES" XP002284480, FOUND IN STN, DATABASE ACCESSION NO. 1961:99421, SUMMARY & ZHURNAL OBSHCHEI KHIMII, 30, 3324-8; CODEN: ZOKHA4; ISSN: 0044-460X, 1960 |                |
|                        | 016                   | DATABASE BEILSTEIN; BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; 29 NOVEMBER 1988; XP002284481, DATABASE ACCESSION NO. BRN 1127514 CAS-RN; 35000-58-9/ SUMMARY & DORN ET AL: J. PRAKT. CHEM., Bd. 313, 1971, Pages 236-246  |                |
|                        | 017                   | BEBERNITZ ET AL.: "THE EFFECT OF 1,3-DIARYL-1HI-PYRAZOL-4-ACETAMIDES ON GLUCOSE UTILIZATION IN OB/OB MICE" J. MED. CHEM., Bd. 44, Nr. 16, 2001, PAGES 2601-2611, XP002284478; SCHEMA 1, METHODE A, STRUKTUREN 2-5, REAKTIONEN A AND B   |                |
|                        | 018                   | ECHEVARRIA ET AL: RESEARCH IN THE AZOLE SERIES. 102 '1. SYNTHESIS AND 13C NMR STUDY OF PYRAZOLE-4-CARBOXALDEHYDES: J. HETEROCYCLIC CHEM., Bd. 30, 1993, PAGES 957-960, XP002284479, FORMULA 19, PAGE 957  |                |
|                        | 019                   | DATABASE BEILSTEIN, BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; 24 JANUARY 2002, XP002284482, DATABASE ACCESSION NO. BRN 8913586 & BRATENKO ET AL: RUSS. J. ORG. CHEM. EN, Bd. 37, Nr. 4, 2001, PAGES 552-555  |                |
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|                       |                    |
|-----------------------|--------------------|
| Examiner<br>Signature | Date<br>Considered |
|-----------------------|--------------------|

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